ABSTRACT

Docket No.: 404172002000

Novel Amino Acid Derivatives and Pharmaceutical Uses Thereof

Provided are novel compounds of Formula I:

$$R_1$$
 O X N CO_2H O R_2

wherein 'X' represents an amino acid group, 'n' is an integer between 1 and 4, 'R₁' represents benzyl, t-butyl or 9-fluorenylmethyl and 'R₂' represents a tetramethylmercaptoimidazole derivative or $-S^+R_3R_4$, wherein R₃ and R₄ each independently represent lower alkyl, or a pharmaceutically and/or veterinarily acceptable derivative thereof. Further provided are pharmaceutical formulations of the compounds and the use thereof in the preparation of a medicament for inhibiting diseases in which transglutaminase has been implicated. Advantageously, the medicament is for treating fibrosis, scarring and/or cancer. Additionally provided are methods of inhibiting autoimmune diseases such as coeliac disease, neurodegeneration and chronic inflammatory diseases (e.g. of the joints including rheumatoid arthritis and osteoarthritis in a subject) and a method for preventing or treating rejection of a transplanted organ.